

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
22 September 2005 (22.09.2005)

PCT

(10) International Publication Number
WO 2005/087743 A1

(51) International Patent Classification⁷: **C07D 217/14**,
217/16, 401/04, 233/16, A61K 31/472, 31/4725, A61P
35/00

(21) International Application Number:
PCT/CH2004/000147

(22) International Filing Date: 12 March 2004 (12.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicant (for all designated States except US): ANA-
LYTECON S.A. [CH/CH]; Rue du Pré Jorat 30, CH-2108
Couvét (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): GUNZINGER, Jan
[CH/CH]; Rue du Burclé 8, CH-2108 Couvet (CH). LE-
ANDER, Kurt [SE/CH]; Chemin des Pavés 8, CH-2034
Peseux (CH).

(74) Agent: A. BRAUN BRAUN HÉRITIER ESCHMANN
AG; Holbeinstrasse 36-38, CH-4051 Basel (CH).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

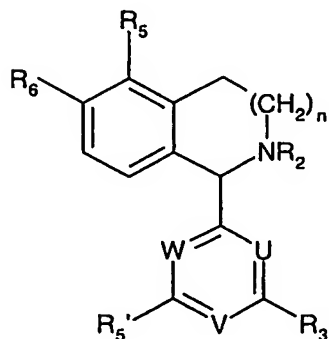
— of inventorship (Rule 4.17(iv)) for US only

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: TETRAHYDROISOQUINOLINE-AND TETRAHYDROBENZAZEPINE DERIVATIVES AS IGF-1R INHIBITORS



(I)

(57) Abstract: Compounds of the formula (I): where R₂, R₅, R₆ have the mean-
ings as given in the description, and U, V and W, respectively, may be CR₂', CR₄'
and CR₆', respectively (with the definitions of R₂', R₄' and R₆' again as in the
description), or may be N, were synthesized. They were found to down-regu-
late or inhibit the expression or function of the IGF-1 receptor.

WO 2005/087743 A1